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NEWS 34 JAN 28 TOXCENTER enhanced with reloaded MEDLINE segment
NEWS 35 JAN 28 MEDLINE and LMEDLINE reloaded with enhancements

NEWS EXPRESS 19 SEPTEMBER 2007: CURRENT WINDOWS VERSION IS V8.2,
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 19 SEPTEMBER 2007.

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FILE 'CAPLUS' ENTERED AT 11:28:05 ON 04 FEB 2008
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FILE COVERS 1907 - 4 Feb 2008 VOL 148 ISS 6
FILE LAST UPDATED: 3 Feb 2008 (20080203/ED)

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=> s lipase and (108-30-5/RN)
51336 LIPASE
8931 LIPASES
52719 LIPASE
(LIPASE OR LIPASES)

11365 108-30-5
3276 108-30-5D
8208 108-30-5/RN
(108-30-5 (NOTL) 108-30-5D)
L1 87 LIPASE AND (108-30-5/RN)

=> S L1 AND RESOLUTION
103764 RESOLUTION
1057 RESOLUTIONS
104341 RESOLUTION
(RESOLUTION OR RESOLUTIONS)
335752 RESOLN
8002 RESOLNS
340026 RESOLN
(RESOLN OR RESOLNS)
381145 RESOLUTION
(RESOLUTION OR RESOLN)
L2 42 L1 AND RESOLUTION

=> S L2 AND ALCOHOL
281836 ALCOHOL
179934 ALCOHOLS
427140 ALCOHOL
(ALCOHOL OR ALCOHOLS)
607219 ALC
196162 ALCS
705208 ALC
(ALC OR ALCS)
878435 ALCOHOL
(ALCOHOL OR ALC)
L3 21 L2 AND ALCOHOL

=> D SCAN

L3 21 ANSWERS CAPLUS COPYRIGHT 2008 ACS on STN
CC 27-7 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 7
TI Lipase-mediated kinetic resolution of rigid clofibrate
analogues with lipid-modifying activity
ST clofibrate analog enantioselective prepn; chloro hydro benzopyran
benzofuran deriv enantioselective prepn; kinetic resoln
benzofuranmethanol benzopyranmethanol enzymic acylation lipase;
benzofurancarboxylate benzopyrancarboxylate enzymic hydrolysis
lipase catalyst
IT Asymmetric synthesis and induction
(enantioselective preparation of benzofuran and benzopyran derivs. as rigid
analogs of clofibrate by kinetic resolution with lipase
)
IT Carboxylic acids, preparation
RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic
preparation); PREP (Preparation); RACT (Reactant or reagent)
(enantioselective preparation of benzofuran and benzopyran derivs. as rigid
analogs of clofibrate by kinetic resolution with lipase
)
IT Alcohols, preparation
Esters, preparation
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(enantioselective preparation of benzofuran and benzopyran derivs. as rigid
analogs of clofibrate by kinetic resolution with lipase

)

IT Resolution (separation)
(enzymic, kinetic; enantioselective preparation of benzofuran and benzopyran derivs. as rigid analogs of clofibrate by kinetic resolution with lipase)

IT Hydrolysis
(enzymic, stereoselective; enantioselective preparation of benzofuran and benzopyran derivs. as rigid analogs of clofibrate by kinetic resolution with lipase)

IT Acylation

Acylation catalysts

Hydrolysis catalysts
(stereoselective, enzymic; enantioselective preparation of benzofuran and benzopyran derivs. as rigid analogs of clofibrate by kinetic resolution with lipase)

IT 51-64-9P, (+)-Amphetamine 95485-43-1P 164265-07-0P 164265-08-1P
164265-09-2P 164265-10-5P 164265-13-8P 165333-98-2P 312608-69-8P
357396-15-7P 357396-16-8P 357396-17-9P 357396-18-0P 357396-19-1P
357396-20-4P 357396-21-5P 357396-22-6P 357396-23-7P 357396-24-8P
357396-25-9P 357396-26-0P 357396-27-1P 357396-28-2P 357396-29-3P
357396-31-7P

RL: BPN (Biosynthetic preparation); BIOL (Biological study); PREP (Preparation)
(enantioselective preparation of benzofuran and benzopyran derivs. as rigid analogs of clofibrate by kinetic resolution with lipase
)

IT 9001-62-1, Lipase
RL: CAT (Catalyst use); USES (Uses)
(enantioselective preparation of benzofuran and benzopyran derivs. as rigid analogs of clofibrate by kinetic resolution with lipase
)

IT 637-07-0, Clofibrate
RL: NUU (Other use, unclassified); USES (Uses)
(enantioselective preparation of benzofuran and benzopyran derivs. as rigid analogs of clofibrate by kinetic resolution with lipase
)

IT 108-30-5, Succinic anhydride, reactions 40026-24-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(enantioselective preparation of benzofuran and benzopyran derivs. as rigid analogs of clofibrate by kinetic resolution with lipase
)

IT 55169-15-8P 68281-66-3P 312608-29-0P 312608-45-0P 357396-06-6P
357396-07-7P 357396-08-8P 357396-09-9P 357396-10-2P 357396-11-3P
357396-12-4P 357396-13-5P 357396-14-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(enantioselective preparation of benzofuran and benzopyran derivs. as rigid analogs of clofibrate by kinetic resolution with lipase
)

IT 357396-01-1P 357396-04-4P
RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(resolution of a chlorodihydrobenzofurancarboxylic acid by resolution with amphetamine)

IT 156-34-3, (-)-Amphetamine 34385-94-9 312608-59-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(resolution of a chlorodihydrobenzofurancarboxylic acid by resolution with amphetamine)

IT 357396-02-2P 357396-05-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)
(resolution of a chlorodihydrobenzofurancarboxylic acid by
resolution with amphetamine)

IT 63559-46-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(resolution of a chlorodihydrobenzofurancarboxylic acid by
resolution with amphetamine)

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> S L3 AND (AY<2004 OR PY<2004 OR PRY<2004)
4760042 AY<2004
23975521 PY<2004
4238557 PRY<2004

L4 11 L3 AND (AY<2004 OR PY<2004 OR PRY<2004)

=> S L4 AND DULOXETINE
648 DULOXETINE
2 DULOXETINES
648 DULOXETINE
(DULOXETINE OR DULOXETINES)

L5 0 L4 AND DULOXETINE

=> S L5 AND 164071-56-1/RN
9 164071-56-1
0 164071-56-1D
9 164071-56-1/RN
(164071-56-1 (NOTL) 164071-56-1D)

L6 0 L5 AND 164071-56-1/RN

=> S L3 AND 164071-56-1/RN
9 164071-56-1
0 164071-56-1D
9 164071-56-1/RN
(164071-56-1 (NOTL) 164071-56-1D)

L7 1 L3 AND 164071-56-1/RN

=> S L1 AND (AY<2004 OR PY<2004 OR PRY<2004)
4760042 AY<2004
23975521 PY<2004
4238557 PRY<2004

L8 62 L1 AND (AY<2004 OR PY<2004 OR PRY<2004)

=> S L7 AND (AY<2004 OR PY<2004 OR PRY<2004)
4760042 AY<2004
23975521 PY<2004
4238557 PRY<2004

L9 0 L7 AND (AY<2004 OR PY<2004 OR PRY<2004)

=> D HIS

(FILE 'HOME' ENTERED AT 11:27:45 ON 04 FEB 2008)

FILE 'CAPLUS' ENTERED AT 11:28:05 ON 04 FEB 2008

L1 87 S LIPASE AND (108-30-5/RN)
L2 42 S L1 AND RESOLUTION
L3 21 S L2 AND ALCOHOL
L4 11 S L3 AND (AY<2004 OR PY<2004 OR PRY<2004)
L5 0 S L4 AND DULOXETINE
L6 0 S L5 AND 164071-56-1/RN

L7 1 S L3 AND 164071-56-1/RN
L8 62 S L1 AND (AY<2004 OR PY<2004 OR PRY<2004)
L9 0 S L7 AND (AY<2004 OR PY<2004 OR PRY<2004)

=> D HIS

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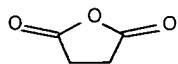
FILE 'CAPLUS' ENTERED AT 11:28:05 ON 04 FEB 2008

L1 87 S LIPASE AND (108-30-5/RN)
L2 42 S L1 AND RESOLUTION
L3 21 S L2 AND ALCOHOL
L4 11 S L3 AND (AY<2004 OR PY<2004 OR PRY<2004)
L5 0 S L4 AND DULOXETINE
L6 0 S L5 AND 164071-56-1/RN
L7 1 S L3 AND 164071-56-1/RN
L8 62 S L1 AND (AY<2004 OR PY<2004 OR PRY<2004)
L9 0 S L7 AND (AY<2004 OR PY<2004 OR PRY<2004)

=> D IBIB ABS HITSTR L4

L4 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:322469 CAPLUS Full-text
DOCUMENT NUMBER: 142:354034
TITLE: Microbial enzymes for resolution of alcohols and esters
INVENTOR(S): Watabe, Shinya; Yamada, Shinya; Fujiwara, Mitsuhiro; Sayo, Noboru
PATENT ASSIGNEE(S): Takasago Perfumery Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokyo Koho, 21 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2005095155	A	20050414	JP 2004-234705	20040811 <--
PRIORITY APPLN. INFO.:			JP 2003-313059	A 20030904 <--
AB	The racemic alcs. or esters are incubated with hydrolytic enzymes of microorganism in the presence of acid anhydrides or carboxylic acid esters for easy and efficient manufacture of half esters with high ratio of specific stereo isomers. Manufacture of (2E,6E)-farnesol from racemic farnesol with Lipase PS-C in the presence of succinic anhydride was shown.			
IT	108-30-5, Succinic anhydride, biological studies			
RL	BSU (Biological study, unclassified); RCT (Reactant); BIOL (Biological study); RACT (Reactant or reagent)			
	(microbial enzymes for resolution of alcs. and esters)			
RN	108-30-5 CAPLUS			
CN	2,5-Furandione, dihydro- (CA INDEX NAME)			



=> D IBIB ABS HITSTR L4 2-11

L4 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:1059496 CAPLUS Full-text
DOCUMENT NUMBER: 142:22349
TITLE: enzymatic acylation of 1,2-diol derivatives and their esters with succinic anhydride
INVENTOR(S): Hwang, Soon Ook; Kim, Do Hoon; Ryu, Hye Youn; Lee, Tae Im; Chung, Sun Ho
PATENT ASSIGNEE(S): Enzytech, Ltd., S. Korea
SOURCE: PCT Int. Appl., 11 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004106534	A1	20041209	WO 2004-KR1313	20040602 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
KR 2004104066	A	20041210	KR 2003-35470	20030603 <--
US 2006234362	A1	20061019	US 2005-558057	20051122 <--
IN 2005CN03190	A	20070727	IN 2005-CN3190	20051130 <--
PRIORITY APPLN. INFO.:			KR 2003-35470	A 20030603 <--
			WO 2004-KR1313	W 20040602

OTHER SOURCE(S): MARPAT 142:22349

AB The present invention relates to a new process for the preparation of optically active alcs. by lipase acylation of racemic mixts. with succinic anhydride. In more detail, this invention relates to the process for the preparation of optically active alcs. and their esters which are used as pharmaceutical intermediates by reacting the hydroxyl group stereospecifically by lipase after adding racemic alcs. and succinic anhydride as an acylating agent. According to this invention, the primary hydroxyl group of 1,2-diols is transformed by other functional group and the secondary hydroxyl group is esterified stereospecifically with succinic anhydride as an acylating agent. Optically active alcs. and their esters of high optical purity in high yield can be produced by using succinic anhydride as an acylating agent because alcs. can be separated from their esters more easily than those of other conventional methods.

IT 108-30-5, Succinic anhydride, reactions

RL: BCP (Biochemical process); RCT (Reactant); BIOL (Biological study);
PROC (Process); RACT (Reactant or reagent)
(enzymic acylation of 1,2-diol derivs. and their esters with succinic anhydride)

RN 108-30-5 CAPLUS

CN 2,5-Furandione, dihydro- (CA INDEX NAME)



REFERENCE COUNT:

3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:697565 CAPLUS Full-text

DOCUMENT NUMBER: 136:5711

TITLE:

Lipase-Mediated Resolution of 4-TMS-3-butyn-2-ol and Use of the Mesylate Derivatives as a Precursor to a Highly Stereoselective Chiral Allenylindium Reagent

AUTHOR(S): Marshall, James A.; Chobanian, Harry R.; Yanik, Mathew M.

CORPORATE SOURCE: Department of Chemistry, University of Virginia, Charlottesville, VA, 22904, USA

SOURCE: Organic Letters (2001), 3(21), 3369-3372
CODEN: ORLEF7; ISSN: 1523-7060

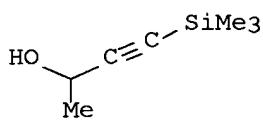
PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

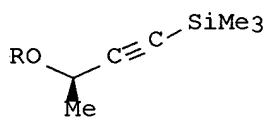
LANGUAGE: English

OTHER SOURCE(S): CASREACT 136:5711

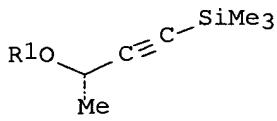
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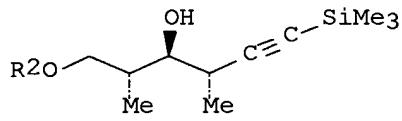
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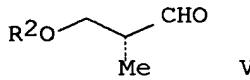
II



III



IV



V

AB An improved procedure for the enzymic resolution of 4-trimethylsilyl-3-butyn-2-ol I has been developed; the improved procedure converts the alc. generated in the resolution to a monosuccinate ester, thus decreasing the volatility of the resolved intermediates in the resolution, avoiding a chromatog. step, and increasing the yield of resolved product. E.g., Amano AK Lipase , vinyl acetate, and 4Å mol. sieves were added to a solution of I in pentane; after 72 h, the mixture was filtered, concentrated, and dissolved in THF; succinic anhydride, triethylamine, and DMAP were added and the mixture refluxed for 4 h followed by workup to give (R)-acetate II (R = AcO) in 82% theo. yield along with (S)-succinate III (R1 = HO2CCH2CH2CO) in 86% theo. yield. E.g.,

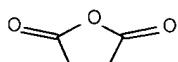
treatment of II and III sep. with diisobutylaluminum hydride in hexanes gave the nonracemic alcs. II ($R = H$) and III ($R_1 = H$) in 83% and 87% yields, resp. The mesylates II ($R = \text{MeSO}_2$) and III ($R_1 = \text{MeSO}_2$) of the resolved alcs. undergo highly enantio-, regio-, and diastereoselective addns. to aldehydes with indium (I) iodide in the presence of palladium acetate and triphenylphosphine, to give homopropargylic secondary alc. adducts such as IV [$R_2 = \text{Me}_3\text{CSi}(\text{Me})_2$] in 70-89% yields, 94-98% ee, and 20-98% de. E.g., II ($R = \text{MeSO}_2$) adds to nonracemic aldehyde (R -V [$R_2 = \text{Me}_3\text{CSi}(\text{Me})_2$] with indium (I) iodide in the presence of palladium acetate and triphenylphosphine to give IV [$R_2 = \text{Me}_3\text{CSi}(\text{Me})_2$] as a single isomer in 71% yield and 98% de.

IT 108-30-5, Succinic anhydride, reactions

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and lipase-mediated resolution of
4-(trimethylsilyl)-3-butyn-2-ol and the regio- and stereoselective
addition of the mesylates to aldehydes in the presence of indium (I)
iodide, palladium acetate, and triphenylphosphine)

RN 108-30-5 CAPLUS

CN 2,5-Furandione, dihydro- (CA INDEX NAME)



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:420240 CAPLUS Full-text

DOCUMENT NUMBER: 135:210888

TITLE: Lipase-mediated kinetic resolution
of rigid clofibrate analogues with lipid-modifying
activity

AUTHOR(S): Ferorelli, S.; Franchini, C.; Loiodice, F.; Perrone,
M. G.; Scilimati, A.; Sinicropi, M. S.; Tortorella, P.

CORPORATE SOURCE: Dipartimento Farmaco-Chimico, Universita di Bari,
Bari, 70125, Italy

SOURCE: Tetrahedron: Asymmetry (2001), 12(6),
853-862

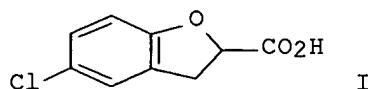
PUBLISHER: CODEN: TASYE3; ISSN: 0957-4166
Elsevier Science Ltd.

DOCUMENT TYPE: Journal

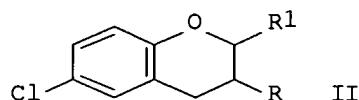
LANGUAGE: English

OTHER SOURCE(S): CASREACT 135:210888

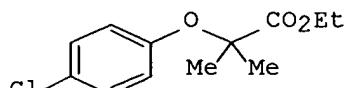
GI



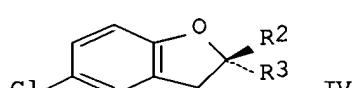
I



II



III



IV

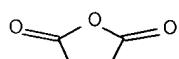
AB The lipase-catalyzed kinetic resolns. of Me esters of dihydrobenzofurancarboxylic acid I and dihydrobenzopyrancarboxylic acids II ($R = H, HO_2C; R1 = HO_2C, H$), rigid analogs of the active metabolite of clofibrate III, were effected with fair to moderate enantioselectivities ($E=1.0-4.8$), enantiomeric excesses of up to 86% and workable reaction rates. Both enzymic acylation of dihydrobenzofuran- and dihydrobenzopyranmethanol derivs. and enzymic hydrolysis of dihydrobenzofurancarboxylate and dihydrobenzopyrancarboxylate Me esters were explored as potential methods for the kinetic resolution of clofibrate analogs. Enantiomerically pure dihydrobenzofurancarboxylic acids IV ($R2 = H, HO_2C; R3 = HO_2C, H$) were obtained by fractional crystallization of the diastereomeric salts of the corresponding racemic acid with (+)- and (-)-amphetamine from ethanol; the absolute configuration of the products were established by chemical correlation.

IT 108-30-5, Succinic anhydride, reactions

RL: RCT (Reactant); RACT (Reactant or reagent)
(enantioselective preparation of benzofuran and benzopyran derivs. as rigid
analogs of clofibrate by kinetic resolution with lipase
)

RN 108-30-5 CAPLUS

CN 2,5-Furandione, dihydro- (CA INDEX NAME)



REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2000:759789 CAPLUS Full-text

DOCUMENT NUMBER: 134:41135

TITLE: Enzymatic resolution of racemic secondary alcohols by lipase B from *Candida antarctica*

AUTHOR(S): Patel, Ramesh N.; Banerjee, Amit; Nanduri, Venkata; Goswami, Animesh; Comezoglu, F. T.

CORPORATE SOURCE: Department of Enzyme Technology, Process Research, Bristol-Myers Squibb Pharmaceutical Research Institute, New Brunswick, NJ, 08903, USA

SOURCE: Journal of the American Oil Chemists' Society (2000), 77(10), 1015-1019

CODEN: JAOCA7; ISSN: 0003-021X

PUBLISHER: AOCS Press

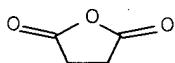
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:41135

AB Chiral intermediates S-(+)-2-pentanol and S-(+)-2-heptanol were prepared by a lipase-catalyzed enzymic resolution process. Among various lipases evaluated for the stereoselective acylation of racemic alcs., lipase B from *Candida antarctica* catalyzed the acylation of the undesired enantiomer of racemic alcs. leaving the desired S-(+)-alcs. unreacted. A reaction yield of 43-45% and an enantiomeric excess (e.e.) of >99% were obtained for S-(+)-2-pentanol or S-(+)-2-heptanol when the reaction was carried out using vinyl acetate or succinic anhydride as acylating agent. In an alternative process, an enantioselective hydrolysis of 2-pentyl acetate was demonstrated using lipase B giving S-(+)-2-pentyl acetate and R-(-)-2-pentanol. A reaction yield of 45% and an e.e. of 98.6% were obtained for S-(+)-2-pentyl acetate.

IT 108-30-5, Succinic anhydride, biological studies
RL: BPR (Biological process); BSU (Biological study, unclassified); RCT (Reactant); BIOL (Biological study); PROC (Process); RACT (Reactant or reagent)
(enzymic resolution of racemic secondary alcs. by lipase B from *Candida antarctica*)

RN 108-30-5 CAPLUS

CN 2,5-Furandione, dihydro- (CA INDEX NAME)



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1997:182846 CAPLUS Full-text
DOCUMENT NUMBER: 126:225424

TITLE: A kinetic resolution route to the (S)-chromanmethanol intermediate for synthesis of the natural tocols

AUTHOR(S): Hyatt, John A.; Skelton, Chad
CORPORATE SOURCE: Research Laboratories, Eastman Chemical Co.,
Kingsport, TN, 37662, USA
SOURCE: Tetrahedron: Asymmetry (1997), 8(4), 523-526
CODEN: TASYE3; ISSN: 0957-4166

PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 126:225424

AB Kinetic resolution of 2-hydroxymethyl-2,5,7,8-tetramethyl-6- chromanol was carried out by reaction with succinic anhydride catalyzed by Amano PS-30 lipase. The (S)-enantiomer, which corresponds to the natural (2R)- configuration of the natural tocopherols and tocotrienols, was selectively acylated. An enantiomeric excess of 96.5% was achieved, and the absolute configuration was proven by conversion to known tocol intermediates. This work provides an example of the uncommon kinetic resolution of a primary neopentyl-type alc. and provides a high-yield, chromatog.-free route to a useful tocol intermediate.

IT 108-30-5, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(kinetic resolution route to the (S)-chromanmethanol intermediate for synthesis of the natural tocots)

RN 108-30-5 CAPLUS
CN 2,5-Furandione, dihydro- (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

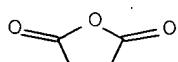
L4 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1997:103505 CAPLUS Full-text
DOCUMENT NUMBER: 126:185626
TITLE: Practical enzymic resolution of racemic alcohols and amines in organic solvents
AUTHOR(S): Gutman, Arie L.; Shkolnik, Eleonora; Meyer, Elazar; Polyak, Felix; Brenner, Dov; Boltanski, Aviv
CORPORATE SOURCE: Department of Chemistry, Israel Institute of Technology, Haifa, 32,000, Israel
SOURCE: Annals of the New York Academy of Sciences (1996), 799(Enzyme Engineering XIII), 620-632
CODEN: ANYAA9; ISSN: 0077-8923
PUBLISHER: New York Academy of Sciences
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Application of lipase to the enzymic resolution of racemic alcs. and amines in organic solvents is described. E.g., lipase catalyzed the esterification of PhCHMeOH with succinic anhydride to give the (R)-ester and unreacted (S)-PhCHMeOH. E.g., 1-aminoindan was resolved by lipase catalyzed reaction with PrCO2OCH2CF3.

IT 108-30-5, reactions

RL: RCT (Reactant); RACT (Reactant or reagent)
(enzymic resolution of racemic alcs. and amines in organic solvents)

RN 108-30-5 CAPLUS

CN 2,5-Furandione, dihydro- (CA INDEX NAME)

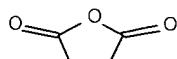


REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1995:667080 CAPLUS Full-text
DOCUMENT NUMBER: 123:82935
TITLE: Method for purification of alcohols using cyclic anhydrides
INVENTOR(S): Boaz, Neil W.
PATENT ASSIGNEE(S): Eastman Kodak Co., USA
SOURCE: U.S., 15 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

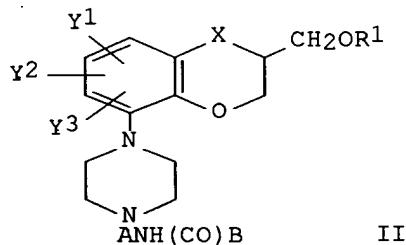
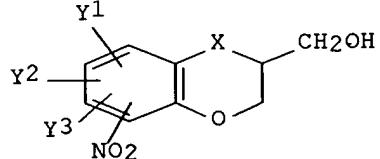
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5312950	A	19940517	US 1993-114808	19930831 <--
PRIORITY APPLN. INFO.:			US 1993-114808	19930831 <--
OTHER SOURCE(S):	CASREACT 123:82935			
AB	A method for the purification of alcs. from organic soluble impurities comprises treating the crude alc. with a cyclic anhydride followed by aqueous base, extracting the corresponding half-ester salt into aqueous solution leaving the impurities in organic solution, and removing the half-ester substituent from the water-soluble salt to afford a purified parent alc. This method is particularly useful for the separation of chiral, nonracemic alcs. from the corresponding antipodal ester (the mixture resulting from an enzymic kinetic resolution) because the separation is non-chromatog. and the enantiomeric integrity of the products is maintained. Thus, racemic 1-phenylethanol in MeOCMe ₃ was stirred 24 h with H ₂ C:CHOAc and SAM-II lipase (derived from Pseudomonas fluorescens) to give an equimolar mixture of (S)-1-phenylethanol and (R)-1-phenylethyl acetate. This in CH ₂ Cl ₂ was treated with Et ₃ N, 4-dimethylaminopyridine, and succinic anhydride to give a product mixture which was extracted with aqueous NaHCO ₃ . (R)-1-phenylethyl acetate was isolated from the organic phase and the succinate half-ester of (S)-1-phenylethanol was isolated from the aqueous phase by acidification with HCl and extraction with CH ₂ Cl ₂ and EtOAc. The half ester was deacylated with K ₂ CO ₃ in MeOH to give (S)-1-phenylethanol of 92% enantiomeric excess. The (R)-1-phenylethyl acetate was similarly deacetylated to give (R)-1-phenylethanol of 90% enantiomeric excess.			
IT	108-30-5, Succinic anhydride, reactions RL: RCT (Reactant); RACT (Reactant or reagent) (cyclic anhydrides in enzymic kinetic resolution)			
RN	108-30-5 CAPLUS			
CN	2,5-Furandione, dihydro- (CA INDEX NAME)			



L4 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1994:577857 CAPLUS Full-text
 DOCUMENT NUMBER: 121:177857
 TITLE: Enzymic process for the stereoselective preparation of a hetero-bicyclic alcohol enantiomer.
 INVENTOR(S): Buizer, Nicolaas; Kruse, Chris G.; van der Laan, Melle; Langrand, Georges; van Scharrenburg, Gustaaf J. M.; Snoek, Maria C.
 PATENT ASSIGNEE(S): Duphar International Research B.V., Neth.
 SOURCE: Eur. Pat. Appl., 20 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 605033	A1	19940706	EP 1993-203451	19931209 <--
EP 605033	B1	19990721		

GT



AB The invention relates to an enzymic process for the stereoselective preparation of a hetero-bicyclic alc. enantiomer, characterized in that a substantially pure enantiomer (I) ($X = O, S, NH, N-(C1-C4)$ alkyl or CH_2 ; Y_1, Y_2 and Y_3 are each independently hydrogen or substituents selected from halogen, $C1-C4$ alkyl, $C1-C4$ alkoxy, $C1-C4$ haloalkyl, nitro and cyano; the NO_2 substituent is attached to the bicyclic ring system in the 5- or 7-position; and the C^* -atom has either the R or the S configuration) is prepared from its corresponding alc. racemate by the following successive reactions steps: (1) stereoselective esterification, (2) separation of the alc. from the ester produced, (3) hydrolysis of said ester to produce the corresponding alc. enantiomer, and (4) conversion of said alc. enantiomer into the starting racemate under basic conditions to allow its reuse. The invention also relates to a substantially pure alc. enantiomer I, to the use of said

enantiomer for the preparation of a pharmacol. active piperazine derivative (II; A = straight or branched C₂-4 alkylene; B = Ph or heterocyclic group selected from thienyl, pyranyl, furyl, etc.; X and Y are described above) and to substantially pure enantiomeric intermediates.

IT 108-30-5, biological studies

RL: BIOL (Biological study)

(in preparation of heterobicyclic alc. enantiomer by enzymic resolution with lipase)

RN 108-30-5 CAPLUS

CN 2,5-Furandione, dihydro- (CA INDEX NAME)



L4 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1994:8306 CAPLUS Full-text

DOCUMENT NUMBER: 120:8306

TITLE: Convenient practical resolution of racemic alkyl-aryl alcohols via enzymic acylation with succinic anhydride in organic solvents

AUTHOR(S): Gutman, Arie L.; Brenner, Dov; Boltanski, Aviv

CORPORATE SOURCE: Dep. Chem., Technion-Israel Inst. Technol., Haifa, 32000, Israel

SOURCE: Tetrahedron: Asymmetry (1993), 4(5), 839-44
CODEN: TASYE3; ISSN: 0957-4166

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 120:8306

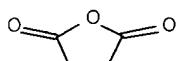
AB Enantiomerically pure alkyl-aryl secondary alcs., e.g., R- and S-PhCH(OH)Me, were conveniently obtained on a Kg. scale from their racemic mixts. by enzymic acylation with succinic anhydride in organic solvents. A major advantage of this acylation method is the ease of separating the ester from the unreacted alc. This is achieved by extracting the organic solution with aqueous NaHCO₃ after the enzymic reaction is completed.

IT 108-30-5, Succinic anhydride, reactions

RL: RCT (Reactant); RACT (Reactant or reagent)
(enzymic acylation by, of alcs., resolution by)

RN 108-30-5 CAPLUS

CN 2,5-Furandione, dihydro- (CA INDEX NAME)



L4 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1990:550895 CAPLUS Full-text

DOCUMENT NUMBER: 113:150895

TITLE: Enzymic manufacture of optically active alcohols

INVENTOR(S): Nishio, Toshiyuki; Seto, Kazumaro; Ohashi, Masayo; Achinami, Kazuo; Terao, Yoshiyasu; Tsuji, Keiichiro

PATENT ASSIGNEE(S): Sapporo Breweries Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.

CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 02142495	A	19900531	JP 1988-293571	19881122 <--
PRIORITY APPLN. INFO.:			JP 1988-293571	19881122 <--
OTHER SOURCE(S):	MARPAT 113:150895			
AB	Optical resolution of racemic R1R2R3COH [R1-3 = H, halo, (halo- or amino-substituted) C1-20 aliphatic, aromatic hydrocarbon] using a stereoselective esterase are disclosed. (R,S)-1-Phenylethanol [(R,S)-I] and succinic anhydride in Et ₂ O were treated with Lipase B at 25° for 4 h (.apprx.50% conversion), the filtrate of the reaction mixture was mixed with aqueous Na ₂ CO ₃ , and the mixture was left to sep. them into an organic layer and an aqueous layer. I monoester Na salt in the aqueous layer was stirred with NaOH to give 96% (R)-I (96% ee), while unreacted (S)-I was isolated from the organic layer in 98% yield (94% ee).			
IT	108-30-5, biological studies			
RL:	BIOL (Biological study) (in optically active alcs. manufacture with lipase, from racemic alcs.)			
RN	108-30-5 CAPLUS			
CN	2,5-Furandione, dihydro- (CA INDEX NAME)			



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COST IN U.S. DOLLARS	SINCE FILE	TOTAL	
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FULL ESTIMATED COST	110.79	111.00	
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL	
	ENTRY	SESSION	
CA SUBSCRIBER PRICE	-8.80	-8.80	

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